COMPLETE LISTING OF CLAIMS

Application No.: 10/596,270

This listing of claims will replace all prior versions and listings of claims in the application:

1. (Currently Amended) A compound according to the Formula (Ia) or the Formula (Ib)

$$(R^{1})_{p}$$

$$R^{3}$$

$$(CH_{2})_{q}$$

$$R^{5}$$

$$R^{4}$$

$$(Ia)$$

$$\begin{array}{c}
(R^1)_{p} \\
R^3 \\
(CH_2)_q \\
CH_2 \\
R^4
\end{array}$$
(Ib)

the pharmaceutically acceptable acid or base addition salts thereof, the quaternary amines thereof, the stereochemically isomeric forms thereof, the tautomeric forms thereof and the N-oxide forms thereof, wherein:

R¹ is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl;

p is an integer equal to 1, 2 or 3; R² is hydrogen: alkyl: hydroxy: th

is hydrogen; alkyl; hydroxy; thio; alkyloxy optionally substituted with

amino or mono or di(alkyl)amino or a radical of formula wherein Z is CH₂, CH-R¹⁰, O, S, N-R¹⁰ and t is an integer equal to 1 or 2 and the dotted line represents an optional bond; alkyloxyalkyloxy; alkylthio; mono or di(alkyl)amino wherein alkyl may optionally be

substituted with one or two substituents each independently be selected from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; Ar; Het

or a radical of formula

wherein Z is CH₂, CH-R¹⁰, O, S

N-R¹⁰; t is an integer equal to 1 or 2; and the dotted line represents an optional bond;

R³ is alkyl, Ar, Ar-alkyl, Het or Het-alkyl; q is an integer equal to zero, 1, 2, 3 or 4;

X is a direct bond or CH₂:

R⁴ and R⁵ each independently are hydrogen, alkyl or benzyl; or

R⁴ and R⁵ together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2H-pyrrolyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, imidazolidinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings optionally being substituted with alkyl, halo, haloalkyl, hydroxy, alkyloxy, amino, mono- or dialkylamino, alkylthio, alkyloxyalkyl, alkylthioalkyl and pyrimidinyl;



R⁶ is hydrogen or a radical of formula wherein s is an integer equal to zero, 1, 2, 3 or 4; r is an integer equal to 1, 2, 3, 4 or 5; and R¹¹ is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl; or two vicinal R¹¹ radicals may be taken together to form together with the phenyl ring to which they are attached a naphthyl;

R⁷ is absent, or is hydrogen, alkyl, Ar, or Het;

R⁸ is hydrogen or alkyl;

R⁹ is oxo; or

R⁸ and R⁹ together form the radical -CH=CH-N=;

R¹⁰ is hydrogen, alkyl, hydroxyl, aminocarbonyl, mono-or di(alkyl)aminocarbonyl, Ar, Het, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-, Ar-C(=O)-;

lkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; or is a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms; or is a a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms attached to a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; wherein each carbon atom can be optionally substituted with halo, hydroxy, alkyloxy or oxo;

Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each optionally substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or dialkylamino, alkyl, haloalkyl, alkyloxy, haloalkyloxy, carboxyl, alkyloxycarbonyl, alkylcarbonyl, aminocarbonyl, morpholinyl and mono- or dialkylaminocarbonyl;

Het is a monocyclic heterocycle selected from the group of N-phenoxypiperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, triazolyl, isothiazolyl, pyrridinyl, pyrrimidinyl, pyrazinyl and pyridazinyl; or a bicyclic heterocycle selected from the group of quinolinyl, isoquinolinyl, 1,2,3,4-tetrahydroisoquinolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzoxazolyl, benzioxazolyl, benzothiazolyl, benzothiazolyl, benzofuranyl, benzothienyl, 2,3-dihydrobenzo[1,4]dioxinyl or benzo[1,3]dioxolyl; each monocyclic and bicyclic heterocycle may optionally be substituted on a carbon atom with 1, 2 or 3 substituents selected from the group of halo, hydroxy, alkyl or alkyloxy;

halo is a substituent selected from the group of fluoro, chloro, bromo and iodo and haloalkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms, wherein one or more carbon atoms are substituted with one or more halo-atoms;

provided that absent then the quinoline ring.

 $\underline{\mathsf{radical}}$ is $\underline{\mathsf{not}}$ bonded to position 3 of the

- 2. (Original) A compound according to claim 1 provided that when R^6 is other than hydrogen then R^7 is hydrogen and when R^7 is other than hydrogen then R^6 is hydrogen.
- 3. (Previously Presented) A compound according to claim 1 wherein \mathbb{R}^2 is hydrogen; alkyl; alkyloxy optionally substituted with amino or mono or di(alkyl)amino or a radical

of formula wherein Z is CH₂, CH-R¹⁰, O, S, N-R¹⁰ and t is an integer equal to 1 or 2 and the dotted line represents an optional bond; mono or di(alkyl)amino;

Ar; Het or a radical of formula wherein Z is CH₂, CH-R¹⁰, O, S, N-R¹⁰ t is an integer equal 1 or 2; and the dotted line represents an optional bond.

- 4. (Previously Presented) A compound according to Claim 1 wherein R^3 is naphthyl, phenyl or Het, each optionally substituted with 1 or 2 substituents, that substituent being a halo or haloalkyl.
- 5. (Previously Presented) A compound according to Claim 1 wherein q is equal to 1.
- (Previously Presented) A compound according to Claim 1 wherein R⁴ and R⁵ each independently are hydrogen or alkyl.

7. (Previously Presented) A compound according to Claim 1 wherein R⁶ is hydrogen or a



radical of formula equal to 1 or 2.

wherein s is an integer equal to zero or 1; r is an integer

8. (Previously Presented) A compound according to Claim 1 wherein \mathbb{R}^7 is hydrogen or Ar.

9. (Original) A compound according to claim 1 wherein R^1 is hydrogen, halo, alkyl or Het; R^2 is alkyl, alkyloxy optionally substituted with mono or di(alkyl)amino or a radical

of formula wherein Z is CH₂, CH-R¹⁰, O, N-R¹⁰, t is an integer equal to 1 or 2, and R¹⁰ is hydrogen, alkyl, hydroxyl, alkyl substituted with one or two Het, alkyl

substituted with one or two Ar, Het-C(=0)-; Ar; Het; a radical of formula wherein Z is CH₂, CH-R¹⁰, O, N-R¹⁰; t is an integer equal to 1 or 2, wherein R¹⁰ is hydrogen, alkyl, hydroxyl, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=0)-; \mathbb{R}^3 is Ar or Het, each optionally substituted with 1 or 2 substituents that substituent being a halo; \mathbb{R}^4 and \mathbb{R}^5 are each alkyl; \mathbb{R}^6 is hydrogen, phenyl, benzyl or 4-methylbenzyl; \mathbb{R}^7 is hydrogen or phenyl; \mathbb{R}^8 is hydrogen; \mathbb{R}^9 is oxo.

10. (Original) A compound according to claim 1 wherein

R¹ is hydrogen, halo, haloalkyl, cyano, hydroxy, Ar, Het, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkylthioalkyl, Ar-alkyl or di(Ar)alkyl;

p is an integer equal to 1, 2 or 3;

R² is hydrogen; alkyl; hydroxy; thio; alkyloxy optionally substituted with

amino or mono or di(alkyl)amino or a radical of formula wherein Z is CH₂, CH-R¹⁰, O, S, N-R¹⁰ and t is an integer equal to 1 or 2 and the dotted line represents an optional bond; alkyloxyalkyloxy;

alkylthio; mono or di(alkyl)amino wherein alkyl may optionally be substituted with one or two substituents each independently be selected from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; Het or a

radical of formula

wherein Z is CH₂, CH-R¹⁰, O, S, N-R¹

; t is an integer equal to 1 or 2; and the dotted line represents an optional bond:

R³ is alkyl, Ar, Ar-alkyl, Het or Het-alkyl;

q is an integer equal to zero, 1, 2, 3 or 4;

X is a direct bond:

R4 and R5 each independently are hydrogen, alkyl or benzyl; or

R⁴ and R⁵ together and including the N to which they are attached may form a radical selected from the group of pyrrolidinyl, 2H-pyrrolyl, 2-pyrrolinyl, 3-pyrrolinyl, pyrrolyl, imidazolidinyl, pyrazolidinyl, 2-imidazolinyl, 2-pyrazolinyl, imidazolyl, pyrazolyl, triazolyl, piperidinyl, pyridinyl, piperazinyl, imidazolidinyl, pyridazinyl, pyrimidinyl, pyrazinyl, triazinyl, morpholinyl and thiomorpholinyl, each of said rings optionally being substituted with alkyl, halo, haloalkyl, hydroxy, alkyloxy, amino, mono- or dialkylamino, alkylthio, alkyloxyalkyl, alkylthioalkyl and pyrimidinyl;

(R¹

R⁶ is a radical of formula wherein s is an integer equal to zero, 1, 2, 3 or 4; r is an integer equal to 1, 2, 3, 4 or 5; and R¹¹ is hydrogen, halo, haloalkyl, hydroxy, Ar, alkyl, alkyloxy, alkylthio, alkyloxyalkyl, alkythioalkyl, Ar-alkyl or di(Ar)alkyl; or two vicinal R¹¹ radicals may be taken together to form together with the phenyl ring to which they are attached a nanhthyl:

R⁷ is hydrogen, alkyl, Ar or Het;

R⁸ is hydrogen or alkyl;

R⁹ is oxo; or

R8 and R9 together form the radical -CH=CH-N=;

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R¹⁰ is hydrogen, alkyl, aminocarbonyl, mono-or di(alkyl)aminocarbonyl, Ar, Het, alkyl substituted with one or two Het, alkyl substituted with one or two Ar, Het-C(=O)-;

alkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; or is a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms; or is a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms attached to a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms; wherein each carbon atom can be optionally substituted with halo, hydroxy, alkyloxy or oxo;

Ar is a homocycle selected from the group of phenyl, naphthyl, acenaphthyl, tetrahydronaphthyl, each optionally substituted with 1, 2 or 3 substituents, each substituent independently selected from the group of hydroxy, halo, cyano, nitro, amino, mono- or dialkylamino, alkyl, haloalkyl, alkyloxy, haloalkyloxy, carboxyl, alkyloxycarbonyl, alkylcarbonyl, aminocarbonyl, morpholinyl and mono- or dialkylaminocarbonyl;

Het is a monocyclic heterocycle selected from the group of N-phenoxypiperidinyl, pyrrolyl, pyrazolyl, imidazolyl, furanyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, triazolyl, pyrridinyl, pyrrimidinyl, pyrazinyl and pyridazinyl; or a bicyclic heterocycle selected from the group of quinolinyl, quinoxalinyl, indolyl, indazolyl, benzimidazolyl, benzoxazolyl, benzisoxazolyl, benzisothiazolyl, benzisothiazolyl, benzothianyl, cy.3-dihydrobenzo[1,4]dioxinyl or benzo[1,3]dioxolyl; each monocyclic and bicyclic heterocycle may optionally be substituted on a carbon atom with 1, 2 or 3 substituents selected from the group of halo, hydroxy, alkyl or alkyloxy;

halo is a substituent selected from the group of fluoro, chloro, bromo and iodo and haloalkyl is a straight or branched saturated hydrocarbon radical having from 1 to 6 carbon atoms or a cyclic saturated hydrocarbon radical having from 3 to 6 carbon atoms, wherein one or more carbon atoms are substituted with one or more halo-atoms.

- 11. (Previously Presented) A compound according to Claim 1 wherein the compound is a compound of formula (Ia).
- 12. Canceled.

13. (Previously Presented) A composition comprising a pharmaceutically acceptable carrier and, as active ingredient, a therapeutically effective amount of a compound as defined in claim 1.

14. Canceled.

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- 15. (Previously Presented) Method of treating a patient suffering from, or at risk of, a mycobacterial disease, which comprises administering to the patient a therapeutically effective amount of a compound according to claim 1 or pharmaceutical composition according to claim 13.
- 16. (Original) A process for preparing a compound according to claim 1 characterized by a) reacting an intermediate of formula (II) with H-R^{2a} or with a suitable salt form of H-R^{2a}, optionally in the presence of a suitable solvent and optionally in the presence of a suitable base

wherein W_1 represents a suitable leaving group, wherein R^{2a} represents alkoxy; a radical

of formula wherein t and Z are defined as in claim 1; alkyloxy substituted

with a radical of formula with a radical of formula wherein t and Z are defined as in claim 1; mono or di(alkyl)amino wherein alkyl may optionally be substituted with one or two substituents each independently be selected from alkyloxy or Ar or Het or morpholinyl or 2-oxopyrrolidinyl; and wherein R¹, R³ to R⁷, p, q and X are defined as in claim 1;

b) reacting an intermediate of formula (II) with R^{2b} -B(OH) $_2$ in the presence of a suitable catalyst, a suitable solvent, and a suitable base

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wherein W₁ represents a suitable leaving group, wherein R^{2b} represents Het or alkyl and wherein R¹, R³ to R⁷, p, q and X are defined as in claim 1;

c) reacting an intermediate of formula (II) with suitable catalyst, a suitable solvent and a suitable base,

$$(R^1)_p \longrightarrow R^7 \qquad He \mapsto B \longrightarrow (R^1)_p \longrightarrow R^7 \qquad R^6 \qquad He \mapsto B \longrightarrow (R^1)_p \longrightarrow R^7 \qquad R^6 \longrightarrow R^6 \longrightarrow R^6 \longrightarrow (CH_2)_q \longrightarrow (CH_2)_$$

wherein W_1 represents a suitable leaving group and wherein R^1 , R^3 to R^7 , p, q and X are defined as in claim 1;

d) reacting an intermediate of formula (III) with an intermediate of formula (IV) in the presence of a suitable coupling agent, in the presence of a suitable solvent and optionally in the presence of a suitable base,

$$(R^{1})_{p}$$

$$V_{2}$$

$$(R^{1})_{p}$$

$$R^{2}$$

$$(CH_{2})_{q}$$

$$(R^{1})_{p}$$

$$R^{3}$$

$$(CH_{2})_{q}$$

$$(CH_{2})_{q}$$

$$(R^{1})_{p}$$

$$R^{3}$$

$$(CH_{2})_{q}$$

$$(R^{1})_{p}$$

$$R^{3}$$

$$(CH_{2})_{q}$$

$$(R^{1})_{p}$$

$$R^{2}$$

$$(R^{2})_{p}$$

$$(R^{2})_{p}$$

$$(R^{3})_{p}$$

$$(R^$$

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wherein W2 represents a suitable leaving group and wherein R1 to R7, p and q are defined as in claim 1;

e) reacting an intermediate of formula (II) with a suitable acid in the presence of a suitable solvent,

Resolution Solvetin,
$$(R^1)_p$$
 acid $(R^1)_p$ $(R^1)_p$

wherein W₁ represents a suitable leaving group and wherein R¹, R³ to R⁷, p, q and X are defined as in claim 1;

f) converting a compound of formula (Ia-5) into a compound of formula (Ia-6), by

in the presence of a suitable catalyst, a suitable solvent, and reaction with a suitable base.

wherein R2 to R7, p, q and X are defined as in claim 1;

g) converting a compound of formula (Ia-5) into a compound of formula (Ia-7), by reaction with Sn(CH₃)₄ in the presence of a suitable catalyst and a suitable solvent,

halo
$$R^7$$
 R^6 $Sn(CH_3)_4$ R^3 OH R^7 R^6 $Sn(CH_3)_4$ R^3 OH R^7 R^7 R^8 R^8

wherein R2 to R7, p, q and X are defined as in claim 1;

or, if desired, converting compounds of formula (Ia) or (Ib) into each other following artknown transformations, and further, if desired, converting the compounds of formula (Ia) or (Ib), into a therapeutically active non-toxic acid addition salt by treatment with an acid, or into a therapeutically active non-toxic base addition salt by treatment with a base, or conversely, converting the acid addition salt form into the free base by treatment with alkali, or converting the base addition salt into the free acid by treatment with acid; and, if desired, preparing stereochemically isomeric forms, quaternary amines, tautomeric forms or N-oxide forms thereof.